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Jul 3, 1990

DERWENT-ACC-NO: 1990-224077

DERWENT-WEEK: 199029

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TITLE: 2(R)-substd. succinic acid cpds. prepn. - from 2(E)-alkylidene-succinate cpds. by asymmetric hydrogenation using rhodium complex of (R,R)-bis(phosphine) cpd.

INVENTOR: TALLEY, J J

PATENT-ASSIGNEE:

ASSIGNEE

CODE

MONSANTO CO

MONS

PRIORITY-DATA: 1989US-0299696 (January 23, 1989)

## PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 4939288 A	July 3, 1990		000	
CA 2008283 A	July 23, 1990		000	
EP 380463 A	August 1, 1990		000	
ES 2029777 T1	October 1, 1992		000	C07C069/34
JP 02247152 A	October 2, 1990		000	

DESIGNATED-STATES: AT BE CH DE ES FR GB GR IT LI LU NL SE

CITED-DOCUMENTS: A3...9151; GB 1501599 ; NoSR.Pub ; US 4194051

## APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
US 4939288A	January 23, 1989	1989US-0299696	
EP 380463A	January 22, 1990	1990EP-0870011	
ES 2029777T1	January 22, 1990	1990EP-0870011	
ES 2029777T1		EP 380463	Based on
JP02247152A	January 22, 1990	1990JP-0012391	

INT-CL (IPC): B01J 31/24; C07C 67/30; C07C 67/303; C07C 67/343; C07C 69/34; C07C 69/593; C07C 69/612; C07C 69/618

ABSTRACTED-PUB-NO: US 4939288A

## BASIC-ABSTRACT:

In the prepn. of 2(R)-substd. succinic acid derivs. (I) by asymmetrically catalytically hydrogenating the corresp. 2(E)-alkylidene succinate deriv. (II), the improvement is that the catalyst is a rhodium complex of a (R,R)-bisphosphine cpd. of formula (III):

where A,B = different opt. substd. 1-12C alkyl, opt. substd. 4-7C cycloalkyl or opt. substd. aryl, provided that there is no significant interference with the steric requirements around the P atom. (I) are of formula (IA) and (II) are of formula (IIA): where R<sub>1</sub>,R<sub>2</sub> = opt. substd. 1-20C alkyl, opt. substd. 4-10C cycloalkyl or opt. substd. aryl provided that R<sub>2</sub> is not a strong electron withdrawing radical; R<sub>3</sub> = -OH, -OR', -O(-), -O(-)M(+), HN(R')<sub>3</sub>(+), -NHR' or -N(R')<sub>2</sub>; R' = a value of R<sub>1</sub>; and M = Gp. IIA metal. Starting materials (IIA) are obtd. by known methods (e.g. Stobbe or Heck or Wittig).

USE/ADVANTAGE - (I) are useful in synthesis of certain enzyme inhibitors (e.g. 2(R)-benzylsuccinic acid is a strong inhibitor of carboxypeptidase A (Byres et al., J. Biol. Chem., 247, 606 (1977))). The process gives high yields of high optical purity prod.

CHOSEN-DRAWING: Dwg.0/0

TITLE-TERMS: SUBSTITUTE SUCCINIC ACID COMPOUND PREPARATION ALKYLIDENE SUCCINATE COMPOUND ASYMMETRIC HYDROGENATION RHODIUM COMPLEX DI PHOSPHINE COMPOUND

DERWENT-CLASS: B05

CPI-CODES: B10-C04A; B10-C04C; B10-D03; B10-G02; N05-C;

CHEMICAL-CODES:

Chemical Indexing M2 \*01\*

Fragmentation Code

G001 G002 G010 G019 G030 G039 G040 G050 G100 G111  
G112 G113 G530 G543 G553 G563 G573 G583 G599 J0  
J012 J171 J241 J242 J261 J262 J271 J272 J341 J361  
J371 M121 M122 M123 M124 M125 M126 M143 M210 M211  
M212 M213 M214 M215 M216 M220 M221 M222 M223 M224  
M225 M226 M231 M232 M233 M272 M273 M280 M281 M282  
M313 M314 M315 M316 M321 M322 M323 M331 M332 M333  
M340 M342 M343 M372 M382 M391 M414 M415 M416 M510  
M520 M530 M531 M532 M533 M540 M541 M542 M543 M720  
M800 M903 M904 N185 N213 N309 N321 N412 N442 N480  
N512 N522 N523

Ring Index

00417 00446 00471

Markush Compounds

199029-26801-P 199029-26802-P

Registry Numbers

1327U 0502U

Chemical Indexing M2 \*02\*

Fragmentation Code

A545 A923 A940 A950 A970 B415 B515 C009 C017 C035  
C100 C720 M411 M730 M903 Q421

Registry Numbers

1327U 0502U

SECONDARY-ACC-NO:

CPI Secondary Accession Numbers: C1990-096710